L Number	Hits	Search Text	DB	Time stamp
1	2	(("6084100") or ("3357986")).PN.	USPAT	2004/09/10 10:15
2	3916	quinine or hydroquinine	USPAT; US-PGPUB	2004/09/10 10:18
3	547945	amino alcohol	USPAT; US-PGPUB	2004/09/10 10:19
4	965	(quinine or hydroquinine) same (amino alcohol)	USPAT; US-PGPUB	2004/09/10 10:19
5	426040	ether or amine	USPAT; US-PGPUB	2004/09/10 10:20
6	850	((quinine or hydroquinine) same (amino alcohol)) and (ether or amine)	USPAT; US-PGPUB	2004/09/10 10:20
7	284	((quinine or hydroquinine) same (amino alcohol)) same (ether or amine)	USPAT; US-PGPUB	2004/09/10 10:20
8	256	(((quinine or hydroquinine) same (amino alcohol)) same (ether or amine)) and base	USPAT; US-PGPUB	2004/09/10 10:21
9	91	(((quinine or hydroquinine) same (amino alcohol)) same (ether or amine)) same base	USPAT; US-PGPUB	2004/09/10 10:21

10676212

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(FILE 'HOME' ENTERED AT 09:47:45 ON 10 SEP 2004)

FILE 'REGISTRY' ENTERED AT 09:47:58 ON 10 SEP 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 18 S L1 SSS FULL
L4 1 S L3 AND C19 H17 N O4 . CL H/MF
L5 17 S L3 NOT L4
L6 1 S L5 AND C19 H17 N/MF
L7 16 S L5 NOT L6
L8 14 S L7 NOT C31 H21 N/MF
L9 13 S L8 NOT C33 H23 N/MF
L10 12 S L9 NOT C18 H16 N2/MF

FILE 'CAPLUS' ENTERED AT 09:54:58 ON 10 SEP 2004
L11 20 S L10
L12 5 S L11 AND (AMINE OR ETHER)
L13 15 S L11 NOT L12

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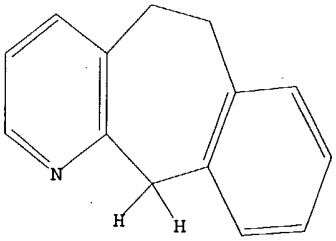
L1 HAS NO ANSWERS
L1 STR



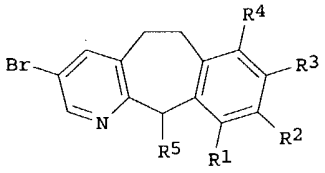
10676212

=> d 1-5 bib abs hitstr kwic

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:308418 CAPLUS
DN 140:339197
TI A preparation and stereoselective alkylation of tricyclic benzo[5,6]cyclohepta[1,2-b]pyridine derivative
IN Chen, Frank X.; Wong, Yee-Shing; Eckert, Jeffrey M.; Zou, Nanfei; Liang, Feng; Kim-Meade, Agnes S.; Poirier, Marc; Thiruvengadam, Tiruvettipuram K.; Wu, George G.
PA Schering Corporation, USA
SO PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004031153	A2	20040415	WO 2003-US31102	20031001
	WO 2004031153	A3	20040715		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, US, UZ, VC, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004122232	A1	20040624	US 2003-676212	20031001
PRAI	US 2002-415673P	P	20021003		
	US 2002-418806P	P	20021015		

OS CASREACT 140:339197; MARPAT 140:339197
GI



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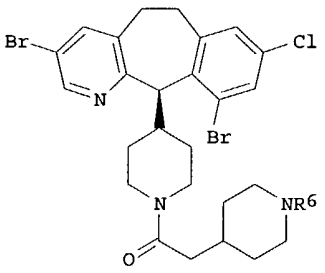
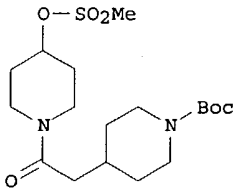


III



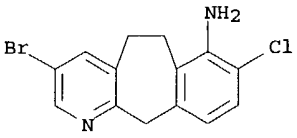
IV

AB The invention relates to benzo[5,6]cyclohepta[1,2-b]pyridine derivative of formula II [I, R1 = Br, R2 = R4 = R5 = H, R3 = Cl], an intermediate for the preparation of the chiral tricyclic compound of formula III [wherein: R6 is H or a protecting group]. Compound II was prepared via one-pot reduction and bromination of the mixture of regioisomers I (R1 = R4 = H, R2 = NO2, R3 = Cl, R5 = :O) and I (R1 = R2 = H, R3 = Cl, R4 = NO2, R5 = :O) (example 3), and subsequent deamination of the obtained mixture of I (R1 = Br, R2 = R5 = H, R3 = Cl, R4 = NH2) and I (R1 = Br, R2 = NH2, R3 = Cl, R4 = R5 = H). Tricyclic compound III [R6 = C(O)NH2] was prepared via stereoselective alkylation of II by piperidinylacetylpiperidine IV in the presence of quinine, LDA, and 2-isopropylaniline, and subsequent complexation with N-Boc-L-asparagine (example 5), reaction of the obtained chiral

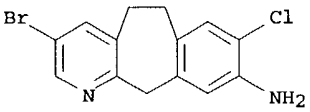
benzocycloheptapyridine derivative III•(N-Boc-L-asparagine) [R6 = H] with NaOCN (example 6), and crystallization (example 7). A comparative investigation of the alkylation process showed that the highest yield (98%) of the alkylated product III (R6 = H) occurred using LDA as a base and N-ethylaniline as an additive, whereas the highest stereospecificity (96% ee) was reached using lithium N-ethylphenylamide as a base and N-Ph-N-naphthylamine as an additive. The proposed method for the preparation of chiral tricyclic benzo[5,6]cyclohepta[1,2-b]pyridine II is shorter and more efficient than the method described in U.S. Patent 6307048.

IT **679842-23-0P 679842-25-2P 679842-27-4P**
679842-29-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

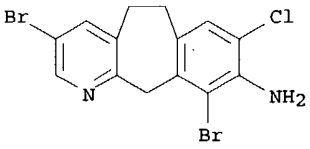
RN 679842-23-0 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridin-7-amine, 3-bromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



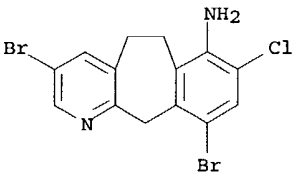
RN 679842-25-2 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridin-9-amine, 3-bromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



RN 679842-27-4 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridin-9-amine, 3,10-dibromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



RN 679842-29-6 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridin-7-amine, 3,10-dibromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)

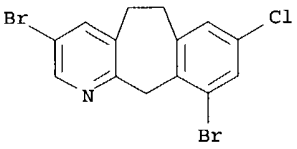


IT **272107-22-9P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(target intermediate; stereoselective alkylation of prepared tricyclic

benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

RN 272107-22-9 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 3,10-dibromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



IT Stereoselective synthesis
(stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT Alkylation
(stereoselective; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT Polycyclic compounds
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(tricyclic; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT 75-31-0, Isopropylamine, reactions 90-30-2 103-32-2 103-69-5, N-Ethylaniline 110-18-9 135-88-6
RL: RGT (Reagent); RACT (Reactant or reagent)
(additive; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT 4039-32-1 4111-54-0, Lithium diisopropyl amide 54962-15-1 99806-35-6
RL: RGT (Reagent); RACT (Reactant or reagent)
(base; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT 2018-61-3, N-Acetyl-L-phenylalanine 15761-39-4, N-Boc-L-proline 21641-92-9 32634-66-5, Di-p-toluoyl-L-tartaric acid 67111-66-4
RL: RGT (Reagent); RACT (Reactant or reagent)
(chiral acid; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT **679842-23-0P 679842-25-2P 679842-27-4P 679842-29-6P** 679842-32-1P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

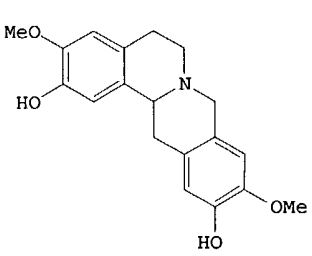
IT 7536-55-2 193276-51-6 193276-52-7 440634-25-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT 193275-84-2P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the presence of base, **amine** or **ether** additive, and chiral organic acid)

IT **272107-22-9P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(target intermediate; stereoselective alkylation of prepared tricyclic benzocycloheptapyridine derivative by piperidinylacetylpiperidine in the

presence of base, **amine** or **ether** additive, and chiral organic acid)

L12 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1983:34820 CAPLUS
DN 98:34820
TI Synthesis of benzo[5,6]cyclohept[1,2,3,ij]isoquinolines as rigid congeners of tetrahydropapaveroline
AU Sharma, Padam N.; Rice, Kenner C.; Brossi, Arnold
CS Lab. Chem., Natl. Inst. Arthritis, Diabetes, Dig. Kidney Dis., Bethesda, MD, 20205, USA
SO Heterocycles (1982), 19(10), 1895-901
CODEN: HTCYAM; ISSN: 0385-5414
DT Journal
LA English
GI



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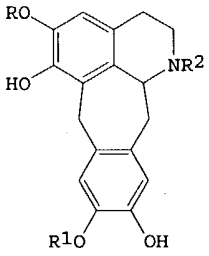
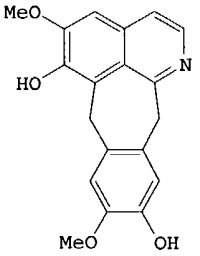


II

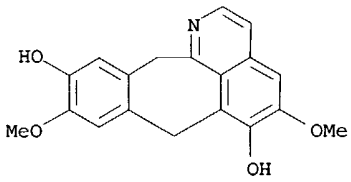


III

AB The synthesis of several 5,6,9,10-tetraoxygenated 1,2,3,7,12,12a-hexahydrobenzo[5,6]cyclohept[1,2,3-ij]isoquinolines from (±)-coreximine I and its diacetate is described. The secondary **amine** II (R = R1 = Me, R2 = H) afforded upon N-methylation the isoquinoline II (R-R2 = Me). Aromatization of II (R = R1 = Me, R2 = H) afforded the aromatic isoquinoline III and O-demethylation of II (R = R1 = Me, R2 = H) with refluxing 48% HBr gave II (R-R2 = H), a tetracyclic analog analog of tetrahydropapaveroline.

IT **83607-60-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 83607-60-7 CAPLUS
CN Benzo[5,6]cyclohept[1,2,3-ij]isoquinoline-6,10-diol, 7,12-dihydro-5,9-dimethoxy- (9CI) (CA INDEX NAME)



AB The synthesis of several 5,6,9,10-tetraoxygenated 1,2,3,7,12,12a-hexahydrobenzo[5,6]cyclohept[1,2,3-ij]isoquinolines from (±)-coreximine I and its diacetate is described. The secondary **amine** II (R =

R1 = Me, R2 = H) afforded upon N-methylation the isoquinoline II (R-R2 = Me). Aromatization of II (R = R1 = Me, R2 = H) afforded the aromatic isoquinoline III and O-demethylation of II (R = R1 = Me, R2 = H) with refluxing 48% HBr gave II (R-R2 = H), a tetracyclic analog analog of tetrahydropapaveroline.

IT 83607-57-2P 83607-59-4P **83607-60-7P** 83607-61-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1968:427262 CAPLUS
DN 69:27262
TI 1,2,3, or 4-aza-5-(piperidinyl or hydrocarbylamino)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene
IN Villani, Frank J.
PA Schering Corp.
SO U.S., 12 pp. Continuation-in-part of U.S. 3326924
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3357986		19671212	US	19660919

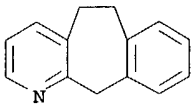
AB Continuation-in-part of U.S. 3,326,924 (see Belg. 647,043, CA 63: 14829g). The title compds. (I) having antihistaminic, antiserotonin, and antianaphylactic action and useful in treating allergic disorders were prepared from 1-, 2-, 3-, or 4-aza-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-one (IIa, b, c, or d) and Grignard reagents of aminoalkyl halides. To 34 g. Na in 500 ml. EtOH at reflux was added a mixture of 260 g. Et nicotinate and 133 g. phenylacetonitrile and the mixture refluxed for 4 hrs. to give α-nicotinoylphenylacetonitrile (III), m. 137-41°. Refluxing III with 1.4 l. concentrated HBr for 16 hrs. followed by crystallization of the hydrobromide and neutralization by Na2CO3 gave 126 g. benzyl 3-pyridyl ketone (IV), m. 53-6°. A mixture of 26 g. IV, 11 g. NaOH, 11 ml. N2H4.H2O, and 175 ml. diethylene glycol distilled over 3-4 hrs. at 235-40° gave 21 g. 3-phenethylpyridine (V), b. 120-8°. From 183 g. V, 120 ml. 30% H2O2, and 300 ml. HOAc kept for 20-4 hrs. at 60-5° was obtained 150-8 g. 3-phenethylpyridine N-oxide (VI). Dropwise addition of 75.6 g. Me2SO4 to 118.8 g. VI and heating 3 hrs. at 85°, cooling, and adding in 180 ml. water to 88.2 g. NaCN in 250 ml. water under N at 0-5° after 6 hrs. gave 2-cyano-3-phenethyl-pyridine (VII), b0.8 160-7°. A solution of 99 g. VII in 5 kg. polyphosphoric acid at 180° for 20 hrs. gave IId, m. 68-73°. A mixture of 15 g. IId and 15 g. SeO2 in 60 ml. pyridine refluxed 4 hrs. under N gave 4-aza-5H-dibenzo[a,d]cyclohepten-5-one (VIII), m. 118-19°. A mixture of 40 g. VIII, 50 g. KOH, 100 g. N2H4.H2O, and 350 ml. trimethylene glycol refluxed 24 hrs. gave 4-aza-5H-dibenzo[a,d]cycloheptene (IXa). Similar reduction of IId gave aza-10,11-dihydro-5H-dibenzo[a,d]cycloheptene (IXb). Using Et 4-methylnicotinate as in preparation of V gave 8.6 g. 3-phenethyl-4-methylpyridine, which with 12 g. SeO2 in 50 ml. pyridine refluxed 3 hrs. gave 3.9 g. 3-phenethylisonicotinic acid, m. 99-101°, converted with polyphosphoric acid to IIb. Hydrogenation of 22 g. 4-stibazole-3-carboxylic acid (X), obtained by saponifying the ester from 165 g. Et 4-methylnicotinate, 106 g. BzH, and 1 l. Ac2O, gave 4-phenethylnicotinic acid which was converted to IIc, m. 66-7°. Condensation of 50 g. X in 1 kg. polyphosphoric acid gave 3-aza-5H-dibenzo[a,d]cyclohepten-5-one, m. 157-8°. A mixture of 65 g. Et 2-methylnicotinate, 57 g. BzH, and 37 ml. Ac2O refluxed 20 hrs. and poured into 2N HCl gave 13.5 g. 2-(2-hydroxyphenethyl)nicotinic acid lactone-HCl (XI), m. 183-5°. A mixture of 176 g. XI, 60 g. red P, and 20 g. iodine in 1.5 l. HOAc was refluxed 20 hrs., filtered hot, and the filtrate poured into water to recover 130 g. 2-stilbazole-3-carboxylic acid, m. 219-21°, which was hydrogenated to 2-phenethylnicotinic acid (XII), m. 162-3°. XII (50 g.) with 500 g. polyphosphoric acid at 160-5° for 5 hrs. gave IIa, m. 62-4°. The N-oxide, from 20 g. XII and 40 ml. 30% H2O2 in 150 ml. HOAc at 65-70° for 24 hrs., was added to 100 ml. refluxing Ac2O, refluxed 10 hrs., poured into water, neutralized with NaHCO3 and extracted with CHCl3. The CHCl3 residue was refluxed with 100 ml. 48% HBr and 100 ml. HOAc to obtain 1-aza-5H-dibenzo[a,d] cyclohepten-5-one, m. 95-6°. To the Grignard reagent from 17.4 g. 1-methyl-4-chloropiperidine and 3.2 g. Mg in 20 ml. tetrahydrofuran was added 13 g. IId to obtain 20 g. 4-aza-5-(1-methyl-4-piperidinyl)- 10,11 -dihydro -5H- dibenzo[a,d]cyclohepten-5-ol (XIII), m. 173-4° (iso-Pr2O). Heating 5.4 g. XIII and 270 g. polyphosphoric acid for 12 hrs. at 140-70° gave 4-aza-5-(N-methyl-4-piperidylidene)-10,11-dihydro-5H-dibenzo-a,d]cycloheptene (XIV), m.

124-6°; dimaleate m. 152-4°. Similarly the Grignard reagent from 3-dimethylaminopropyl chloride and 6.8 g. Mg in 150 ml. Et2O with 20.9 g. IIa gave 1-aza-5-(3-dimethyl-aminopropyl)-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ol (XV), m. 106-9° (**ether**). A mixture of 14 g. XV, 160 ml. HOAc, and 50 ml. HCl was refluxed 8 hrs. and neutralized to obtain 1-aza-5-(3-dimethylaminopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene (XVI), b. 175-80°. Hydrogenation of 6.8 g. XVI over 0.5 g. PtO2 with 50 psi. H gave 1-aza-5-(3-dimethylaminopropyl)-10,11-dihydro-5H-dibe n z o [a,d] cycloheptene, b. 165-70°. To a solution of 18.2 g. IXa and NaNH2 (from 2.5 g. Na) in 200 ml. NH3 was added 10.7 g. dimethylaminoethyl chloride to obtain 4-aza-5-(dimethylaminoethyl)-5H-dibenzo[a,d]cycloheptene. To a mixture of 13 g. Zn (20 mesh) and 33.4 g. BrCH2CO2Et in 400 ml. 1:1 benzene-toluene was added 41.4 g. IXb. After 2 hrs. at 100°, 13 g. more Zn was added and warming continued 4 hrs. to give 4-aza-5-(carbethoxymethyl)-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ol (XVII). Heating 20 g. XVII in 100 ml. Ac2O containing 2% H2SO4 gave 4-aza-5-(carbethoxymethylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene (XVIII). Saponification of 15 g. XVIII gave the acid which was converted to the acid chloride with SOCl2 and aminated with Me2NH to obtain 4-aza-5-(dimethylcarboxamido)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene (XIX). Reduction of 10 g. XIX with 3 g. LiAlH4 in 250 ml. Et2O gave 4-aza-5-dimethylaminoethylidene-10,11-dihydro-5H-dibenzo[a,d]cycloheptene.

IT **3964-78-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 3964-78-1 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- (7CI, 8CI, 9CI) (CA INDEX NAME)



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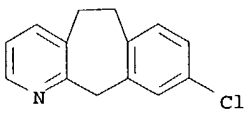
IT 3964-72-5P 3964-73-6P 3964-74-7P 3964-75-8P 3964-76-9P
3964-77-0P **3964-78-1P** 3964-79-2P 3964-80-5P 3964-81-6P
3978-85-6P 3978-86-7P 4182-73-4P 6312-09-0P 14578-17-7P
14578-18-8P 14578-19-9P 14578-20-2P 14578-22-4P 14578-23-5P
14627-92-0P 16479-34-8P 18728-74-0P 18728-84-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1965:480742 CAPLUS
DN 63:80742
OREF 63:14892g-h
TI Carbon monoxide insertion reactions. III. Reactions of methylmanganese pentacarbonyl with nucleophiles
AU Calderazzo, F.; Noack, K.
CS Cyanamid European Res. Inst., Geneva, Switz.
SO Journal of Organometallic Chemistry (1965), 4(3), 250-2
CODEN: JORCAI; ISSN: 0022-328X
DT Journal
LA English
AB cf. CA 62, 8653d. LiI in Et2O with MeMn(CO)5 gave Li[AcMn-I(CO)4] (I) (heptane-Et2O); I.Et2O (II) was isolated first, and the Et2O was removed only in high vacuum. I and II were sensitive to air and H2O. The ir and N.M.R. spectra of I and other AcMn(CO)x derivs. are discussed.
IT **3964-85-0**, 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 9-chloro-6,11-dihydro-
(preparation of)
RN 3964-85-0 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 9-chloro-6,11-dihydro- (7CI, 8CI) (CA INDEX NAME)



10676212

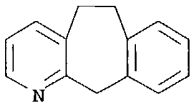
IT Ethyl **ether**, compound with Li iodo(acetato)tetracarbonylmanganate(V) (1:1)
Lithium iodoacetyltetracarbonylmanganate(I)
Lithium iodoacetyltetracarbonylmanganate(I), compound with Et2O (1:1)
Manganates(I), iodo(acetato)tetracarbonyl-
IT 597-49-9, 3-Pentanol, 3-ethyl- 3677-86-9, 3-Heptanone, 5-ethyl-5-hydroxy-4-methyl- **3964-85-0**, 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 9-chloro-6,11-dihydro- (preparation of)

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1965:480576 CAPLUS
DN 63:80576
OREF 63:14829g-h,14830a-c
TI Azadibenzocycloheptenes
IN Villani, F. J.
PA Scherico Ltd.
SO 87 pp.
DT Patent
LA Unavailable
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	BE 647043	A	19641026	BE 1964-647043	19640424
	US 3366635	A	19680130	US 1963-330244	19631213
	BR 6458580	A0	19730911	BR 1964-158580	19640422
PRAI	US 1963-275237	A	19630424		
	US 1963-330244	A	19631213		
	US 1963-330263	A	19631213		

GI For diagram(s), see printed CA Issue.
AB Title compds. are antihistamines and antianaphylacties, effective against hay fever. 2-Phenethylnicotinic acid (50 g.) and 500 g. polyphosphoric acid after stirring 5 hrs. at 160° gave 1-aza-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-one (I), m. 62-4° (hexane). Similarly prepared were: 4-aza-10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-one (II), m. 68-73°; 3-aza-10,11-dihydro5H-dibenzo[a,d]cyclohepten-5-one, m. 66-7° (C6H6-petr. **ether**). Ac2O (100 ml.) and 15 g. N-oxide of I were refluxed 10 hrs. to give a residue which was refluxed 6 hrs. with 100 ml. 48% HBr and 100 ml. AcOH to yield 1-aza-5H-dibenzo[a,d]cyclohepten-5-one, m. 95-6° (petr. **ether**). Other dehydrogenation methods described were: N-bromosuccinimide with Et3N, SeO2 in pyridine, and 5% Pd-C in cymene. Thus prepared were: 4-aza-5H-dibenzo[a,d]cyclohepten-5-one, m. 118-19° (C6H6-hexane); 3-aza-5Hdibenzo[a,d]cyclohepten-5-one, m. 157-8° (C6H6-hexane). Wolff-Kishner reduction of II gave 4-aza-10,11-dihydro-5H-dibenzo[a,d]cycloheptene. N-Methyl-4-chloropiperidine (17.4 g.), 3.2 g. Mg, 20 ml. (THF,) 1 ml. EtBr, and trace iodine was refluxed 2 hrs., and cooled to 30°. A solution of 13 g. II in 25 ml. THF was added and the mixture stirred 5 hrs. to give 20 g. 5-hydroxy-5-(N methyl-4-piperidyl)-4-aza-10,11-dihydro-5H-dibenzo[a,d]cycloheptene (III), m. 173-4° (iso-Pr2O). Similarly prepared were R-1-aza-10,11-dihydro-5H-dibenzo[a,d]cycloheptenes (R and m.p. given): 5-hydroxy-5-(N-methyl-4-piperidyl), 170-3°; 5-hydroxy-5-(γ-dimethylaminopropyl), 106-9°; 5-hydroxy-5-(4-dimethylaminocyclohexylmethyl), 182-4° (EtOH). Dehydration of 5.4 g. III with 270 g. polyphosphoric acid for 12 hrs. at 140-70° gave 5-(N-methyl-4-piperidylidene)-4-aza-10,11-dihydro-5H-dibenzo[a,d]cycloheptene, m. 124-6° (iso-Pr2O). Also prepared were other 5-R-1-aza-10,11-dihydro-5H-dibenzo[a,d]cycloheptenes (R and m.p. or b.p. given): 5-(N-methyl-4-piperidylidene), m. 136-8° (petr. **ether**); 5-(4-dimethylaminocyclohexylmethylidene), m. 95-7°; 5-(γ-dimethylaminopropylidene) (IV), b1 175-80°. Oily 5-dimethylaminoethylidene-4-aza-10,11-dihydro-5H-dibenzo[a,dlcycloheptene was obtained from its corresponding amide by treatment with LiAlH4 in Et2O. IV in EtOH was reduced with H-Pt to give 5-(γ-dimethylaminopropyl)-1-aza-10,11-dihydro-5H-dibenzo[a,d]cycloheptene, b1 165-70°. Similarly, 5-hydroxy-5-(p-dimethylaminophenyl)-1-azadibenzo[a,d]cycloheptene in AcOH treated with P and iodine gave 5(p - dimethylaminophenyl) - 1 - azadibenzo[a,d]cycloheptene. Yields of the various reactions were not given.
IT **3964-78-1**, 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- (preparation of)
RN 3964-78-1 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- (7CI, 8CI, 9CI) (CA INDEX NAME)

10676212



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IT 3964-72-5, 5H-Benzo[4,5]cyclohepta[1,2-b]pyridin-5-one, 10,11-dihydro-
3964-73-6, 11H-Benzo[5,6]cyclohepta[1,2-b]pyridin-11-one, 5,6-dihydro-
3964-74-7, 11H-Benzo[5,6]cyclohepta[1,2-c]pyridin-11-one, 5,6-dihydro-
3964-75-8, 5H-Benzo[4,5]cyclohepta[1,2-b]pyridin-5-one 3964-76-9,
11H-Benzo[5,6]cyclohepta[1,2-b]pyridin-11-one 3964-77-0,
11H-Benzo[5,6]cyclohepta[1,2-c]pyridin-11-one **3964-78-1**,
5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- 3964-79-2,
5H-Benzo[5,6]cyclohepta[1,2-b]pyridin-11-ol, 6,11-dihydro-11-(1-methyl-4-piperidyl)- 3964-80-5, 5H-Benzo[4,5]cyclohepta[1,2-b]pyridin-5-ol,
5-[3-(dimethylamino)propyl]-10,11-dihydro- 3964-81-6,
5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro-11-(1-methyl-4-piperidylidene)- 3964-82-7, 5H-Benzo[4,5]cycloheptal[1,2-b]pyridine,
5-[[4-(dimethylamino)cyclohexyl]methylene]-10,11-dihydro- 3964-84-9,
11H-Benzo[5,6]cyclohepta[1,2-b]pyridin-11-one, 9-chloro-5,6-dihydro-
3978-84-5, 5H-Benzo[4,5]cyclohepta[1,2-b]pyridin-5-ol,
10,11-dihydro-5-(1-methyl-4-piperidyl)- 3978-85-6, 5H-Benzo[4,5]cycloheptal[1,2-b]pyridine, 5-[3-(dimethylamino)propylidene]-10,11-dihydro- 3978-86-7, 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine,
6,11-dihydro-11-(1-methyl-4-piperidylidene)-, maleate (1:2) 4045-97-0,
5H-Benzo[4,5]cycloheptal[1,2-b]pyridine, 10,11-dihydro-5-(1-methyl-4-piperidylidene)- 4180-53-4, 5H-Benzo[4,5]cyclohepta[1,2-b]pyridin-5-ol,
5-[[4-(dimethylamino)cyclohexyl]methyl]-10,11-dihydro- 4182-73-4,
5H-Benzo[4,5]cycloheptal[1,2-b]pyridine, 5-[3-(dimethylamino)propyl]-10,11-dihydro- 6587-49-1, 2-Piperazineethanol, 1-[3-(6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)propyl]-
(preparation of)

=> d his

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(FILE 'HOME' ENTERED AT 09:47:45 ON 10 SEP 2004)

FILE 'REGISTRY' ENTERED AT 09:47:58 ON 10 SEP 2004
L1 STRUCTURE UPLOADED
L2 0 S L1
L3 18 S L1 SSS FULL
L4 1 S L3 AND C19 H17 N O4 . CL H/MF
L5 17 S L3 NOT L4
L6 1 S L5 AND C19 H17 N/MF
L7 16 S L5 NOT L6
L8 14 S L7 NOT C31 H21 N/MF
L9 13 S L8 NOT C33 H23 N/MF
L10 12 S L9 NOT C18 H16 N2/MF

FILE 'CAPLUS' ENTERED AT 09:54:58 ON 10 SEP 2004
L11 20 S L10
L12 5 S L11 AND (AMINE OR ETHER)

=> s l11 not l12
L13 15 L11 NOT L12

=> d 1-15 bib abs hitstr

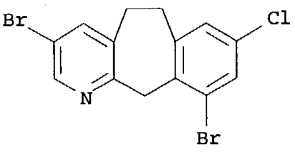
L13 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:360921 CAPLUS
DN 139:117329
TI A Novel Enantioselective Alkylation and Its Application to the Synthesis of an Anticancer Agent
AU Kuo, Shen-Chun; Chen, Frank; Hou, Donald; Kim-Meade, Agnes; Bernard, Charles; Liu, Jinchu; Levy, Stacy; Wu, George G.
CS Chemical Process Research and Development, Schering-Plough Research Institute, Union, NJ, 07083, USA
SO Journal of Organic Chemistry (2003), 68(12), 4984-4987
CODEN: JOCEAH; ISSN: 0022-3263
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:117329
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

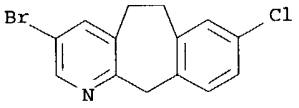
AB Benzocycloheptapyridines undergo enantioselective alkylation with cyclohexyl and 4-piperidinyl mesylates in the presence of either quinine or norephedrine derivative I and 1 equivalent of water to yield piperidinylbenzocycloheptapyridines in 65-95% ee; the enantioselective alkylation is used as the key step in the synthesis of the farnesyl protein transferase inhibitor and anticancer agent Lonafarnib II (R = 1-carbamoyl-4-piperidinyl). Reduction of benzocycloheptapyridinones with zinc and acetic anhydride in acetic acid yields benzocycloheptapyridines such as III. A homogeneous solution of III and N-Boc-4-piperidinyl mesylate in toluene is prepared, the solution added to quinine, and the mixture cooled to 5-10°; addition of 1 equivalent of the THF solvate of LDA yields a red solution to which is added 1 equivalent of water and a second equivalent of the THF solvate of LDA immediately followed by a third equivalent of the THF solvate of LDA over 3 h while the reaction stirs at 14-18°. Stirring of the reaction mixture at 20-25° for 18 h followed by quenching with water yields product; after workup and salt formation with N-acetyl-L-phenylalanine, the N-acetyl-L-phenylalanine salt of benzocycloheptenylpyridinylpiperidinecarboxylate III (R = Me3CO) is isolated in 80% yield and 98% ee. The use of ligand I in the alkylation gives similar enantioselectivity. Hydroquinine gives benzocycloheptenylpyridinylpiperidines in similar enantioselectivities to quinine in the enantioselective alkylation; other ligands tried give decreased enantioselectivities. The addition of 1 equivalent of water increases the enantioselectivities of alkylation significantly; when the above alkylation in performed without addition of water, the product is obtained in 50% ee.
IT **272107-22-9P 562883-39-0P 562883-45-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective preparation of benzocycloheptapyridines by alkylation of benzocycloheptapyridines with mesylates in the presence of either quinine or a trimethoxybenzyl norephedrine derivative and water in toluene)
RN 272107-22-9 CAPLUS

10676212

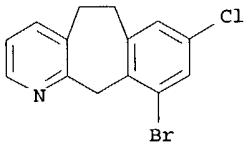
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 3,10-dibromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



RN 562883-39-0 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 3-bromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)

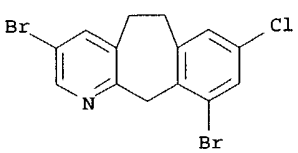


RN 562883-45-8 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 10-bromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2002:174776 CAPLUS
DN 137:369956
TI Synthesis of [3H], [14C], and [13C215N]Sch 66336
AU Hesk, D.; Cesarz, D.; Magatti, C.; Voronin, K.; McNamara, P.; Koharski, D.; Saluja, S.; Hendershot, S.; Pham, H.; Truong, V.
CS Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SO Synthesis and Applications of Isotopically Labelled Compounds, Proceedings of the International Symposium, 7th, Dresden, Germany, June 18-22, 2000 (2001), Meeting Date 2000, 217-220. Editor(s): Pleiss, Ulrich; Voges, Rolf. Publisher: John Wiley & Sons Ltd., Chichester, UK.
CODEN: 69CIJC; ISBN: 0-471-49501-8
DT Conference
LA English
OS CASREACT 137:369956
AB The syntheses of [3H], [14C], and [13C215N]Sch 66336 are described. [3H]Sch 66336 was obtained via tritiation of (11R)-3,10-dibromo-8-chloro-6,11-dihydro-11-piperidin-4-yl-5H-benzo[5,6]cyclohepta[1,2-b]pyridine and adding the side chain. [13C215N]Sch 66336 was obtained from 4-[13C]cyanomethyl-N-tert.butoxycarbonylpiperidine and [14C]Sch 66336 from [2,6-14C]N-tert.-butoxycarbonyl-4-piperidinol.
IT **272107-22-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of [3H], [14C], and [13C215N]Sch 66336)
RN 272107-22-9 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 3,10-dibromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



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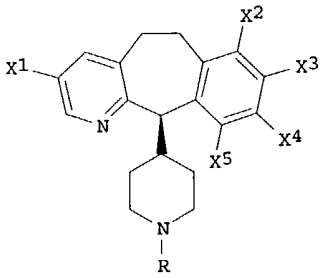
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

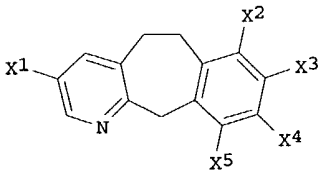
L13 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:672223 CAPLUS
DN 135:226895
TI Enantioselective alkylation of benzocycloheptapyridine tricyclic derivatives
IN Kuo, Shen-chun; Chen, Frank Xing
PA Schering Corporation, USA
SO U.S., 16 pp., Division of U.S. Ser. No. 442,511.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6288233	B1	20010911	US 2000-667411	20000921
	US 6307048	B1	20011023	US 1999-442511	19991118
PRAI	US 1998-109148P	P	19981120		
	US 1999-442511	A3	19991118		

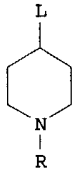
OS CASREACT 135:226895; MARPAT 135:226895
GI



I



II

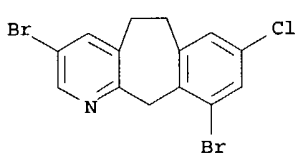


III

AB Disclosed is a process for preparing I [X1, X2, X3, X4, X5 = H, halo, alkyl, alkoxy, aryl, aryloxy; R = protecting group]. II is treated with the following, in any sequence: (a) a non-nucleophilic strong base; (b) a chiral amino alc.; and (c) a compound having the formula III [L = leaving group]. Eleven examples are provided. I, made by this process, are useful intermediates for preparing compds. that are inhibitors of farnesyl protein transferase. Also disclosed is a compound having the formula.

IT **272107-22-9P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective alkylation of benzocycloheptapyridine tricyclic derivs.)

RN 272107-22-9 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 3,10-dibromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



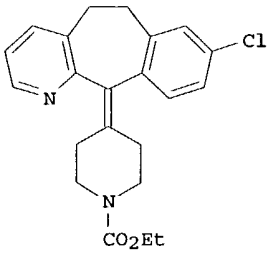
10676212

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:454330 CAPLUS
DN 133:73940
TI Process for the preparation of loratadine
IN Stampa, Alberto; Camps, Pelayo; Rodriguez, Gloria; Bosch, Jordi; Onrubia, Mariadel Carmen
PA Medichem, S.A., Spain
SO U.S., 4 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

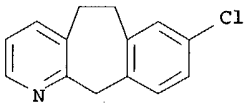
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6084100	A	20000704	US 1998-58837	19980413
PRAI US 1997-48083P	P	19970530		

OS CASREACT 133:73940
GI



I

AB The title compound I was prepared by the reductive coupling between 8-chloro-5,6-dihydrobenzo[5,6]cyclohepta[1,2-b]pyridin-11-one and Et 4-oxopiperidine-1-carboxylate through the action of low-valent titanium species.
IT **38093-12-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the preparation of loratadine)
RN 38093-12-8 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



L13 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:368340 CAPLUS
DN 133:17384
TI Enantioselective alkylation of tricyclic compounds
IN Kuo, Shen-chun; Bernard, Charles F.; Chen, Frank Xing; Hou, Donald; Kim-Meade, Agnes S.; Wu, George G.
PA Schering Corp., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

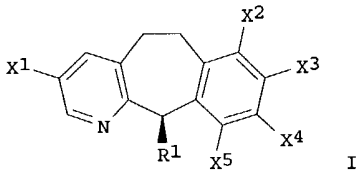
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000031064	A1	20000602	WO 1999-US26009	19991118

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, NO,

NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1131313	A1	20010912	EP 1999-958771	19991118
EP 1131313	B1	20030226		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
JP 2002530398	T2	20020917	JP 2000-583892	19991118
AT 233257	E	20030315	AT 1999-958771	19991118
PT 1131313	T	20030630	PT 1999-958771	19991118
ES 2189523	T3	20030701	ES 1999-958771	19991118
ZA 2001003243	A	20020722	ZA 2001-3243	20010420
HK 1038748	A1	20030606	HK 2002-100249	20020114
PRAI US 1998-197005	A	19981120		
WO 1999-US26009	W	19991118		

OS CASREACT 133:17384; MARPAT 133:17384
GI

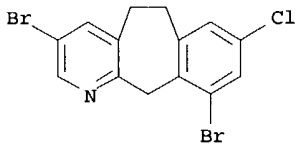


I

AB Alkylated title compds. [I; R1 = N-protected 4-piperidinyl; X1-X5 = H, halo, alkyl, alkoxy, aryl(oxy)] were prepared by alkylation of I (R1 = H) with an N-protected piperidine having a leaving group in the 4-position in the presence of a non-nucleophilic strong base and a chiral amino alc..

IT **272107-22-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(enantioselective alkylation of tricyclic compds.)

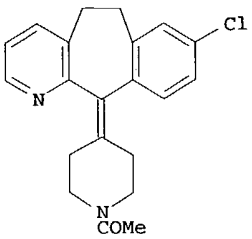
RN 272107-22-9 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 3,10-dibromo-8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



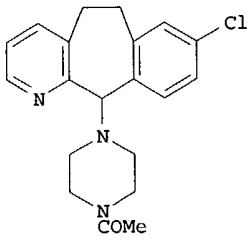
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1999:485970 CAPLUS
DN 131:243158
TI Conformational considerations in the design of dual antagonists of platelet-activating factor (PAF) and histamine
AU Kaminski, James J.; Carruthers, Nicholas I.; Wong, Shing-Chun; Chan, Tze-Ming; Billah, M. Motassim; Tozzi, S.; McPhail, Andrew T.
CS Schering-Plough Research Institute, Kenilworth, NJ, 07033, USA
SO Bioorganic & Medicinal Chemistry (1999), 7(7), 1413-1423
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
GI

10676212



I



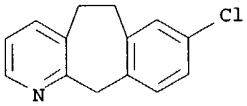
II

AB Following the discovery of the first dual antagonist of platelet-activating factor (PAF) and histamine, 1-acetyl-4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-ylidene)piperidine, Sch 37370 (I), a related series of structures, exemplified by (±)-1-acetyl-4-(8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)piperazine, Sch 40338 (II), was prepared Interestingly, the compds. exhibited a parallel structure-antiallergy activity relationship, suggesting that the two series may adopt a common conformation at the PAF receptor. Conformational anal. led to a proposal for this bioactive conformation accessible to both series. The synthesis of novel conformationally constrained analogs that might mimic the proposed bioactive conformation of these compds., and the evaluation of their in vitro antiallergy activity form the subject matter of this report.

IT **38093-12-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(conformational considerations in design of dual antagonists of platelet-activating factor and histamine)

RN 38093-12-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:425747 CAPLUS

DN 131:54018

TI Combination of benzocycloheptapyridine compound farnesyl protein transferase inhibitors and antineoplastic drugs for treating proliferative diseases

IN Bishop, Walter R.; Catino, Joseph J.; Doll, Ronald J.; Ganguly, Ashit; Girijavallabhan, Viyyoor; Kirschmeier, Paul; Liu, Ming; Nielsen, Loretta L.; Cutler, David L.

PA Schering Corporation, USA

SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9932114	A1	19990701	WO 1998-US26224	19981221
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9811734	A	19990621	ZA 1998-11734	19981221
CA 2315693	AA	19990701	CA 1998-2315693	19981221
AU 9919072	A1	19990712	AU 1999-19072	19981221
AU 756762	B2	20030123		

10676212

	BR 9814419	A	20001010	BR 1998-14419	19981221
	EP 1041985	A1	20001011	EP 1998-963829	19981221
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	JP 2001526224	T2	20011218	JP 2000-525105	19981221
	CN 1129431	B	20031203	CN 1998-813742	19981221
	NO 2000003229	A	20000822	NO 2000-3229	20000621
PRAI	US 1997-996027	A	19971222		
	US 1998-143529	A	19980828		
	US 1998-181969	A	19981029		
	WO 1998-US26224	W	19981221		

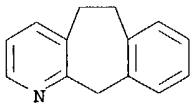
OS MARPAT 131:54018

AB Methods are provided for treating proliferative diseases, especially cancers, comprising administering a farnesyl protein transferase inhibitor in conjunction with an antineoplastic agent and/or radiation therapy.

IT **3964-78-1D**, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(farnesyl protein transferase inhibitor combination with antineoplastic drug or radiotherapy for treatment of proliferative disease)

RN 3964-78-1 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- (7CI, 8CI, 9CI) (CA INDEX NAME)



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1998:656685 CAPLUS

DN 130:13912

TI Synthesis of a C11 spiropiperidino derivative of 8-chloro-6,11-dihydro 5H-benzo[5,6]cyclohepta[1,2-b]pyridine

AU Afonso, Adriano; Kelly, J.; Puar, Mohindar S.; McCombie, Stuart; McPhail, Andrew T.

CS Schering-Plough Res. Inst., Kenilworth, NJ, 07033, USA

SO Tetrahedron Letters (1998), 39(42), 7661-7664
CODEN: TELEAY; ISSN: 0040-4039

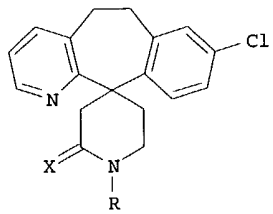
PB Elsevier Science Ltd.

DT Journal

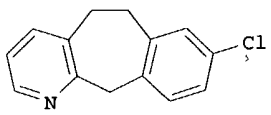
LA English

OS CASREACT 130:13912

GI



I



II

AB Spiropiperidine I (X = H2; R = H) is prepared in 9 steps from dihydrobenzocycloheptapyridine II. Cleavage of the N-tosyl group of the intermediate I (X = O; R = 4-MeC6H4SO2) to form the spiropiperidinone I (X = O; R = H) was found to proceed in high yield with concentrate sulfuric acid. Acylated derivs. of I (X = H2; R = H) were required for a structure-activity study aimed at defining the spatial requirements of the N-acyl residue in the lead Farnesyl-Protein-Transferase inhibitor III.

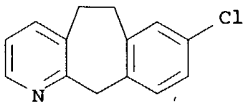
IT **38093-12-8**
RL: RCT (Reactant); RACT (Reactant or reagent)

10676212

(preparation of a pyridobenzocycloheptenespiropiperidine as a scaffold for potential farnesyl-protein-transferase inhibitor)

RN 38093-12-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:594637 CAPLUS

DN 127:257608

TI Farnesyltransferase inhibitors for inhibiting farnesylation of hepatitis delta virus large antigen and treating hepatitis delta virus infection

IN Casey, Patrick J.; Otto, James C.

PA Duke University, USA; Casey, Patrick J.; Otto, James C.

SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

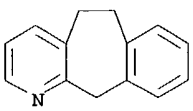
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9731641	A1	19970904	WO 1996-US12501	19960731
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9666051	A1	19970916	AU 1996-66051	19960731
PRAI	US 1996-12486P	P	19960229		
	WO 1996-US12501	W	19960731		

AB A method is disclosed for treating hepatitis delta virus infection. The method involves inhibiting farnesylation of the delta virus large antigen using an inhibitor of farnesyltransferase.

IT **3964-78-1D**, derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(farnesyltransferase inhibitors for inhibiting farnesylation of hepatitis delta virus large antigen and treating hepatitis delta virus infection)

RN 3964-78-1 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- (7CI, 8CI, 9CI) (CA INDEX NAME)



L13 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:471307 CAPLUS

DN 127:161815

TI Preparation of pyrido[3'',4'':6',6']naphtho[1',8':5,6,7]cyclohepta[1,2-b]pyridines and analogs as antihistaminics and PAF antagonists

IN Kaminski, James J.; Wong, Shing-chun C.; Carruthers, Nicholas I.

PA Schering Corporation, USA

SO U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 885,429, abandoned.
CODEN: USXXAM

DT Patent

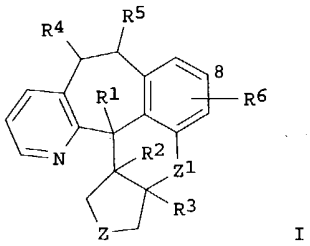
10676212

LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5648360	A	19970715	US 1994-335885	19941114
	WO 9323400	A1	19931125	WO 1993-US4456	19930517

W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 1992-885429 19920519
WO 1993-US4456 19930517
OS MARPAT 127:161815
GI

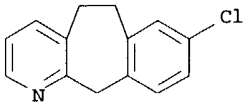


I

AB Title compds. (I; Z = NR7CH2 or CH2NR7; Z1 = bond, CO, CHR, CHCH2, CHRCO, CROH, etc.; R,R1-R5 = H; RR3,R1R2,R2R3,1415 = bond; R6 = H, halo, alkyl, CF3; R7 = H, alkyl, alkanoyl, N-oxido-4-pyridylcarbonyl, etc.) were prepared Thus, 8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridine was alkylated by Me 1,2,5,6-tetrahydro-1-methylnicotinate and the saponified product cyclized to give I (R1 = α-H, R2 = β-H, R4 = R5 = H, R6 = 8-Cl, Z = CH2NMe)(II; R3 = H, Z1 = CO) which was reduced and the product dehydrated to give II (Z1 = CHR, RR3 = bond). Data for biol activity of I were given.

IT **38093-12-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrido[3'',4'':6',6']naphtho[1',8':5,6,7]cyclohepta[1,2-b]pyridines and analogs as antihistaminics and PAF antagonists)

RN 38093-12-8 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



L13 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1996:713016 CAPLUS
DN 126:8138
TI Preparation of tricyclic compounds as farnesyl protein transferase inhibitors
IN Doll, Ronald J.; Mallams, Alan K.; Afonso, Adriano; Rane, Dinanath F.; Rossman, Randall R.; Njoroge, F. George
PA Schering Corporation, USA
SO PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9631477	A1	19961010	WO 1996-US4171	19960403

W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU

10676212

RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

	US 5712280	A	19980127	US 1995-418973	19950407
	US 5672611	A	19970930	US 1995-446265	19950522
	CA 2217477	AA	19961010	CA 1996-2217477	19960403
	CA 2217477	C	20030114		
	AU 9654328	A1	19961023	AU 1996-54328	19960403
	EP 819120	A1	19980121	EP 1996-911442	19960403
	EP 819120	B1	20030604		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI				
	JP 10511980	T2	19981117	JP 1996-530363	19960403
	JP 2999556	B2	20000117		
	AT 242216	E	20030615	AT 1996-911442	19960403
	ES 2194987	T3	20031201	ES 1996-911442	19960403
PRAI	US 1995-418973	A	19950407		
	WO 1996-US4171	W	19960403		

OS MARPAT 126:8138

GI

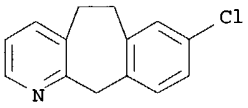
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = II, III, IV; R2 = H, C1-8 alkyl, C2-8 alkenyl, etc.; R3, R4 = H, halo, C1-6 alkyl; W = CH (when the optional bond is present), O, S, CH2; X = CH, N; Y = N, CH], useful for inhibiting Ras function and therefore inhibiting the abnormal growth of cells, were prepared and formulated. Thus, reaction of the tricyclic compound V with (R)-Ph3CSCH2(CHO)NHBóc in the presence of sodium triacetoxyborohydride, 4A mol. sieves, Et3N in DMF followed by deprotection afforded the expected product VI which showed IC50 of 10-100 μM against FPT.

IT **38093-12-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic compds. as farnesyl protein transferase inhibitors)

RN 38093-12-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



L13 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1994:217643 CAPLUS

DN 120:217643

TI Pentacyclic antihistamines and platelet-activating factor antagonists

IN Kaminski, James J.; Wong, Shing Chun C.; Carruthers, Nicholas I.

PA Schering Corp., USA

SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2

DT Patent

LA English

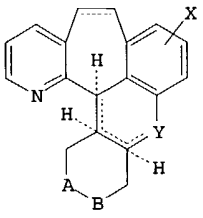
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9323400	A1	19931125	WO 1993-US4456	19930517
	W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9342445	A1	19931213	AU 1993-42445	19930517
	US 5648360	A	19970715	US 1994-335885	19941114
PRAI	US 1992-885429		19920519		
	WO 1993-US4456		19930517		

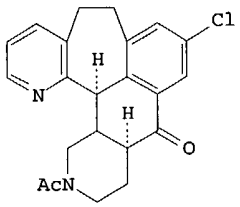
OS MARPAT 120:217643

GI

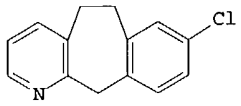
10676212



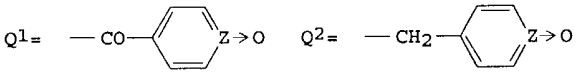
I



II



III

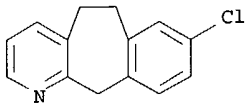


AB The title compds. I [A = NR and B = CH2, or A = CH2 and B = NR; R = H, lower alkyl, lower alkanoyl, CO2R1, Q1, Q2; R1 = H, lower alkyl, CH2CCl3; X = H, halogen, CF3, lower alkyl; Y = (CH2)n, CO, CH2CO, CH2CH2CO, C(OH)H; n = 0-3; ring-containing Y has only one optional double bond], which demonstrate high antihistaminic activity and which are platelet-activating factor antagonists, are prepared and I-containing formulations presented. Thus, pentacycle II was prepared from pyridine derivative III in 4 steps and demonstrated 49% inhibition of platelet-activating factor-induced platelet aggregation at 50 μM.

IT **38093-12-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant, in preparation of pentacyclic antihistamines and platelet activating factor antagonists)

RN 38093-12-8 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



L13 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1976:446340 CAPLUS

DN 85:46340

TI 5,6,7,8-Tetrahydroquinolines. Part III. Synthesis of 5,6,7,8-tetrahydroquinoline-8-thiocarboxamides

AU Curran, Adrian C. W.; Shepherd, Robin G.

CS Inst. Med. Res., Wyeth Lab., Maidenhead, UK

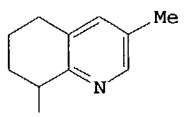
SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1976), (9), 983-6
CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

OS CASREACT 85:46340

GI



II

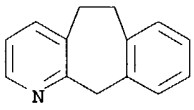
10676212

AB Reaction of the 8-lithio derivative of 5,6,7,8-tetrahydroquinolines with Me3SiNCO and Me3SiNCS followed by mild hydrolysis gave the tetrahydroquinoline-8-carboxamides and -thiocarboxamides, resp. E.g., 5,6,7,8-tetrahydro-8-lithio-3-methylquinoline (I) with Me3SiNCO andMe3SiNCS gave 34 and 40% amide II (Z = O, S, R = H, resp.). Reaction of I with RNCS gave 15-78% II [Z = S, R = Me, Ph, Bu, PhCH2, Ph(CH2)3].

IT **3964-78-1P 60169-57-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

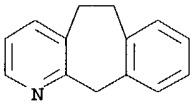
RN 3964-78-1 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 60169-57-5 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L13 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1972:496881 CAPLUS

DN 77:96881

TI Derivatives of 10,11-dihydro-5H-dibenzo[a,d]cycloheptene and related compounds. 6. Aminoalkyl derivatives of the aza isosteres

AU Villani, Frank J.; Daniels, Peter J. L.; Ellis, Claire A.; Mann, Thomas A.; Wang, Kai-Chih; Wefer, Elizabeth A.

CS Dep. Med. Chem., Schering Corp., Bloomfield, NJ, USA

SO Journal of Medicinal Chemistry (1972), 15(7), 750-4
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

OS CASREACT 77:96881

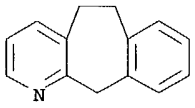
AB The most potent antianaphylactic and antihistaminic agent among 61 derivs. of the title compound tested was azatadine dimaleate [6,11-dihydro-11-(1-methyl-4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine dimaleate] (I) [3978-86-7]. The protective dose (PD50) of I, in mice sensitized with horse serum and pertussis vaccine and later challenged with horse serum to produce anaphylaxis, was 0.014 mg/kg. Other aza isosteres were less potent; the order of decreasing potency was 4-aza > 2-aza > 1-aza > 3-aza. I had an ED50 of 0.72 μg/l. in the guinea pig ileum in vitro histamine-screen, and protected guinea pigs at 0.0091 mg/kg orally against i.v. injection of twice the LD of histamine-2HCl; in these assays I was 3.4 and 7.4 times as active, resp., as the standard, chlorpheniramine maleate. I was synthesized from the corresponding 11-ketone by reaction with 1-methyl-4-piperidyl Mg chloride to form the tertiary carbinol, which was dehydrated by heating at 165-70.deg. for 15 hr with excess polyphosphoric acid.

IT **3964-78-1P 38093-12-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

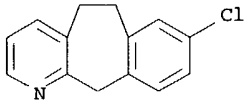
RN 3964-78-1 CAPLUS

CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 6,11-dihydro- (7CI, 8CI, 9CI) (CA INDEX NAME)

10676212



RN 38093-12-8 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 8-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)



L13 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1965:480741 CAPLUS
DN 63:80741
OREF 63:14892e-g
TI Cleavage of ketones of the carborane series on aluminum oxide
AU Stanko, V. I.; Klimova, A. I.
SO Zhurnal Obshchei Khimii (1965), 35(8), 1503-4
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Russian
AB In chromatographic purification on Al2O3 of ketones of type RCB10H10CBz in hexane the C-C bond was ruptured and yielded carborane hydrocarbons. The reaction was caused by HO- ions present in Al2O3. These ketones were similarly cleaved by catalytic amount of NaOH in cold EtOH. Treatment of Al2O3 with HCl prevented the cleavage. Esters of type RCB10H10CCO2Et (R = H, m. 62-2.5°; R = Me, b3 101-3°, n20D 1.5197, d20 1.0003; R = Ph, m. 34.5-5°) treated with EtONa or NaNH2 in the cold in absolute EtOH or liquid NH3, resp., were cleaved into carborane hydrocarbons with elimination of the ester group. Amides of type RCB10H10CONH2 (R = H, m. 118.5-19°; R = Me, m. 216.5-17°; R = Ph, m. 111-11.5°) were not cleaved by conditions shown above for esters and ketones. The esters and ketones were also cleaved by RLi in a similar manner.
IT **3964-85-0**, 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 9-chloro-6,11-dihydro-
(preparation of)
RN 3964-85-0 CAPLUS
CN 5H-Benzo[5,6]cyclohepta[1,2-b]pyridine, 9-chloro-6,11-dihydro- (7CI, 8CI) (CA INDEX NAME)